(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 8 January 2004 (08.01.2004)

PCT

(10) International Publication Number WO 2004/002489 A1

(51) International Patent Classification⁷: A61P 11/00

A61K 31/506.

(74) Agents: HOXIE, Thomas et al.; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(21) International Application Number:

PCT/IB2003/002794

(22) International Filing Date:

New Orlean, LA 70112 (US).

17 June 2003 (17.06.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/392,588

28 June 2002 (28.06.2002) US

- (71) Applicant (for all designated States except US): THE ADMINISTRATORS OF THE TULANE EDUCA-TIONAL FUND [US/US]; 1430 Tulane Avenue, SL-13,
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): LASKY, Joseph, Alexander [US/US]; 1127 Philip Street, New Orleans, LA 70130 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,

LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR,

(84) Designated States (regional): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

Published:

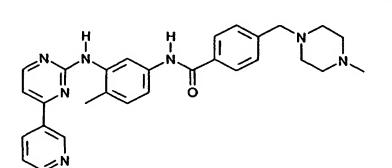
- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title:

4-(4-METHYLPIPERAZIN-1-YLMETHYL)-N-[4-METHYL-3-(4-PYRIDIN-3-YL)PYRIMIDIN-2-YLAMINO)PHENYL]-BENZAMIDE FOR TREATING PULMONARY FIBROSIS

(I)



(57) Abstract: 4-(4-methylpiperazin-2ylmethyl)-N-[4-methyl-3-(4-pyridin-4yl)pyrimidin-2-ylamino)phenyl]-benzamide of the formula (I) or a pharmaceutically acceptable salt thereof can be used in the treatment of pulmonary fibrosis. .







•

PCT/IB 03/02794

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K31/506 A61P11/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, MEDLINE, BIOSIS, EMBASE, CHEM ABS Data, SCISEARCH, PHARMAPROJECTS, PASCAL

	ENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of	Relevant to claim No.	
X	WO 99 03854 A (NOVARTIS ERFIND VERWALT GMBH; NOVARTIS AG (CH); BUERGER HANS MICHA) 28 January 1999 (1999-01-28) page 3, paragraph 3 - paragraph 6 page 9, paragraph 3 page 11, line 4,5 examples 4,6 /		1-11
"A" docum consid "E" earlier filing o "L" docum which	ent which may throw doubts on priority claim(s) or is cited to establish the publication date of another in or other special reason (as specified) entrefering to an oral disclosure, use, exhibition or means	"T" later document published after the Inte or priority date and not in conflict with cited to understand the principle or th invention "X" document of particular relevance; the cannot be considered novel or cannot involve an inventive step when the do "Y" document of particular relevance; the cannot be considered to involve an indocument is combined with one or ments, such combined with one or ments, such combination being obvious in the art.	emational filing date the application but eory underlying the claimed invention to econsidered to current is taken alone claimed invention eventive step when the ore other such docu- us to a person skilled
"O" docum other "P" docum later t	ent published prior to the International filling date but han the priority date claimed actual completion of the International search	"&" document member of the same patent	
"O" docum other "P" docum later t	ant published prior to the international filling date but han the priority date claimed actual completion of the international search to October 2003	Date of mailing of the international second	· · · · · · · · · · · · · · · · · · ·



INTERNATIONAL SEARCH REPORT

PCT/IB 03/02794

		PCT/IB 03/02794			
C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT					
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.			
X	BOECKLIN F ET AL: "Anti-fibrogenic effect of the tyrosine kinase inhibitor STI-571 on bone marrow fibrosis in chronic myeloid leukemia" BLOOD, vol. 96, no. 11 Part 1, 16 November 2000 (2000-11-16), page 734a XP009020012 42nd Annual Meeting of the American Society of Hematology; San Francisco, California, USA; December 01-05, 2000 ISSN: 0006-4971 abstract	1-11			
A	M.H. BEERS, R. BERKOW: "The Merck Manual of Diagnosis and Therapy" 1999 , MERCK RESEARCH LABORATORIES , WHITEHOUSE STATION, N.J. XP002259814 'Idiopathic Bronchiolitis Obliterans with Organizing Pneumonia' page 638 -page 639				

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

PCT/IB 03/02794

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)
200. Taxions where certain claims were found unsearchable (Continuation of item 1 of first sheet)
This international Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 4-11 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound.
2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a). .
Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.





PCT/IB 03/02794

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 9903854	Α	28-01-1999	AT	251152 T	15-10-2003
			. AU	740713 B2	15-11-2001
			AU	8975998 A	10-02-1999
			BR	9810920 A	15-08-2000
			CN	1264375 T	23-08-2000
			WO	9903854 A1	28-01-1999
			EP	0998473 A1	10-05-2000
			HU	0003230 A2	28-06-2001
			JP	3276359 B2	22-04-2002
			JP	2001510192 T	31-07-2001
			NO	20000227 A	17-01-2000
			NZ	502295 A	21-12-2001
			PL	338129 A1	25-09-2000
•			SK	432000 A3	12-06-2000
			TR	200000060 T2	21-09-2000
			TW	491845 B	21-06-2002
			US	2002115858 A1	22-08-2002
			ZA	9806362 A	22-01-1999